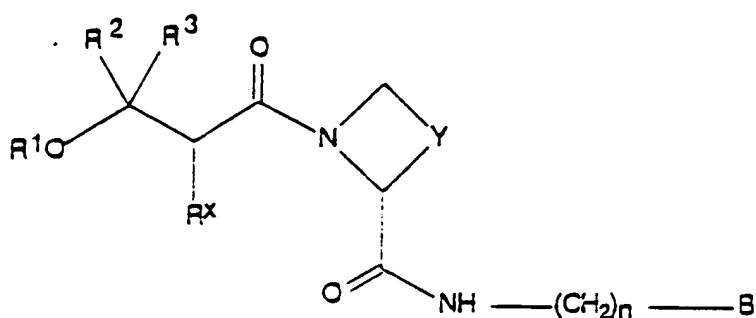


**AMENDMENTS TO THE CLAIMS:**

This listing of claims will replace all prior versions, and listings, of claims in the application:

1 (currently amended). A compound of formula I,



wherein

$R^1$  represents H;

$R^{12}$ ,  $R^{13}$  and  $R^{14}$  independently represent H, phenyl or  $C_{1-6}$  alkyl;

~~R<sup>16</sup> represents C<sub>1-4</sub> alkyl, phenyl, OH, C(O)OR<sup>17</sup> or C(O)N(H)R<sup>18</sup>;~~

~~R<sup>18</sup> represents H, C<sub>1-4</sub> alkyl or CH<sub>2</sub>C(O)OR<sup>19</sup>;~~

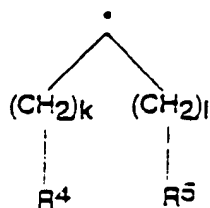
~~R<sup>16</sup> and R<sup>17</sup> independently represent H, C<sub>1-6</sub> alkyl or C<sub>7-9</sub> alkylphenyl;~~

~~R<sup>11</sup> and R<sup>10</sup> independently represent H or C<sub>1-4</sub> alkyl; and~~

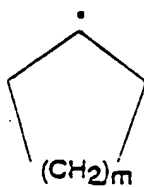
~~q represents 0, 1 or 2;~~

$R^2$  and  $R^3$  are both hydrogen;

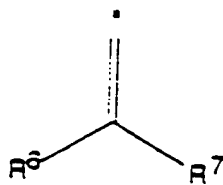
**R<sup>x</sup> represents a structural fragment of formula IIa, IIb or IIc,**



IIa



IIb



IIc

wherein

k, l and m independently represent 0, 1, 2, 3 or 4;

$R^4$  and  $R^5$  independently represent H,  $\text{Si}(\text{Me})_3$ , 1- or 2-naphthyl, a polycyclic hydrocarbonyl group,  $\text{CHR}^{41}\text{R}^{42}$  or  $\text{C}_{1-4}$  alkyl (which latter group is optionally substituted by one or more fluorine atoms), or  $\text{C}_{3-8}$  cycloalkyl, phenyl, methylenedioxyphenyl, benzodioxanyl, benzofuranyl, dihydrobenzofuranyl, benzothiazolyl, benzoxazolyl, benzimidazolyl, coumaranonyl, coumarinyl or dihydrocoumarinyl (which latter twelve groups are optionally substituted by one or more of  $\text{C}_{1-4}$  alkyl (which latter group is optionally substituted by one or more halo substituent),  $\text{C}_{1-4}$  alkoxy, halo, hydroxy, cyano, nitro,  $\text{SO}_2\text{NH}_2$ ,  $\text{C}(\text{O})\text{OH}$  or  $\text{N}(\text{H})\text{R}^{43}$ );

$\text{R}^{41}$  and  $\text{R}^{42}$  independently represent cyclohexyl or phenyl;

$\text{R}^6$  and  $\text{R}^7$  independently represent H,  $\text{C}_{1-4}$  alkyl,  $\text{C}_{3-8}$  cycloalkyl, phenyl (which latter group is optionally substituted by one or more of  $\text{C}_{1-4}$  alkyl (which latter group is optionally substituted by one or more halo substituent),  $\text{C}_{1-4}$  alkoxy, halo, hydroxy, cyano, nitro,  $\text{SO}_2\text{NH}_2$ ,  $\text{C}(\text{O})\text{OH}$  or  $\text{N}(\text{H})\text{R}^{44}$ ) or together with the carbon atom to which they are attached form a  $\text{C}_{3-8}$  cycloalkyl ring;

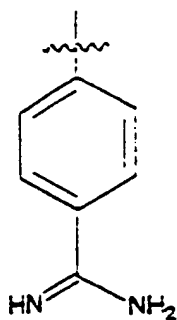
$R^{43}$  and  $R^{44}$  independently represent H or  $C(O)R^{45}$ ; and

$R^{45}$  represents H,  $C_{1-4}$  alkyl or  $C_{1-4}$  alkoxy;

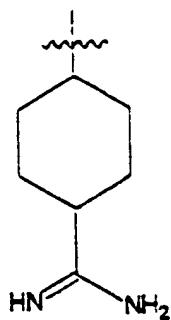
Y represents  $(CH_2)_2$ ,  $CH=CH$ ,  $(CH_2)_3$ ,  $CH_2CH=CH$  or  $CH=CHCH_2$ , which latter three groups are optionally substituted by  $C_{1-4}$  alkyl, methylene, oxo or hydroxy;

n represents 0, 1, 2, 3 or 4; and

B represents a structural fragment of formula IVa or IVc



IVa



IVc

or a pharmaceutically acceptable salt thereof.

2-4 (cancelled).

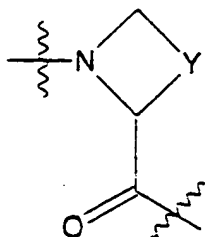
5 (previously presented). A compound of formula I, as defined in claim 1, wherein  $R^x$  represents a structural fragment of formula IIa.

6 (previously presented). A compound of formula I, as defined in claim 1, wherein Y represents  $(CH_2)_2$ .

7 (previously presented). A compound of formula I, as defined in Claim 1, wherein n represents 1.

8 (previously presented). A compound of formula I, as defined in Claim 1, wherein B represents a structural fragment of formula IVa.

9 (previously presented). A compound of formula I, as defined in claim 1, wherein the fragment



is in the S-configuration.

10 (previously presented). A compound as claimed in claim 1 which is

(*R,S*)-PhCH(CH<sub>2</sub>OH)-C(O)-Pro-(*R,S*)-Hig;  
(*S*)-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R,S*)-3-aminophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-3-(methylamino)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-3-(methylamino)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-PhCH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-3-(trifluoromethyl)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-3-(trifluoromethyl)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R,S*)-3-hydroxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-((3-chloro-5-methylphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-((3-chloro-5-methylphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-3-fluorophenyl-CH(CH<sub>2</sub>OH)CO-Pro-Pab;  
(*R*)-3-fluorophenyl-CH(CH<sub>2</sub>OH)CO-Pro-Pab;  
(*S*)-3-chlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-3-chlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R,S*)-3,5-dimethylphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-3,5-bis(trifluoromethyl)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-3,5-bis(trifluoromethyl)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R,S*)-3-methoxy-5-methylphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R,S*)-(2,5-dimethoxyphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R,S*)-(3,5-dimethoxyphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R,S*)-3,4-(methylenedioxyphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-3-(2-naphthyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-3-(2-naphthyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;

(*R*)-2,5-dimethylphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-2,5-dimethylphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-3-methoxy-4-hydroxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-3-methoxy-4-hydroxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-3,5-dichlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-3,5-dichlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-2,3-dimethoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-2,3-dimethoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-3-methoxy-5-chlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-3-methoxy-5-chlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*R*)-2-methyl-5-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
(*S*)-2-methyl-5-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
[ (*R,S*)-Ph-C(Me)(CH<sub>2</sub>OMe)-C(O)-Pro-Pab; ]  
(*R*)-2,3-(methylenedioxyphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab; or  
(*S*)-2,3-(methylenedioxyphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab<sup>-</sup>  
or a pharmaceutically acceptable salt thereof.

11 (previously presented). A compound of formula I, as defined in Claim 1, provided that when R<sup>x</sup> represents a structural fragment of formula IIa, then R<sup>4</sup> and/or R<sup>5</sup> do/does not represent phenyl substituted by halo-substituted C<sub>1-6</sub> alkyl.

12 (previously presented). A compound of formula I, as defined in Claim 1, provided that when R<sup>x</sup> represents a structural fragment of formula IIa, then R<sup>4</sup> and/or R<sup>5</sup> do/does not represent methylenedioxyphenyl, benzodioxanyl, benzofuranyl, dihydrobenzofuranyl, benzothiazolyl, benzoxazolyl, benzimidazolyl, coumaranonyl, coumarinyl or dihydrocoumarinyl.

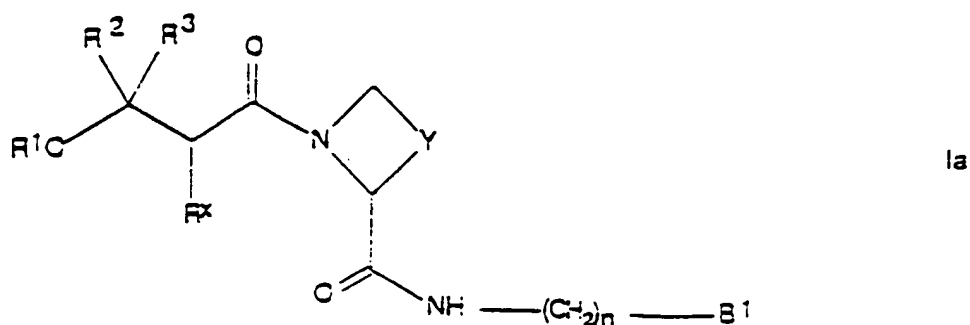
13 (previously presented). A compound of formula I, as defined in Claim 1, provided that when  $R^x$  represents a structural fragment of formula IIc, then  $R^6$  and/or  $R^7$  represent(s) unsubstituted phenyl.

14 (previously presented). A compound of formula I, as defined in Claim 1, wherein, when  $R^x$  represents a structural fragment of formula IIa, then  $R^4$  and/or  $R^5$  represent(s) phenyl substituted by halo-substituted  $C_{1-6}$  alkyl.

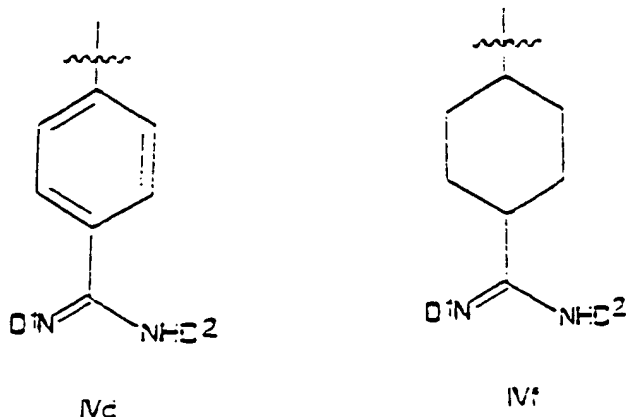
15 (previously presented). A compound of formula I, as defined in Claim 1, wherein, when  $R^x$  represents a structural fragment of formula IIa, then  $R^4$  and/or  $R^5$  represent(s) methylenedioxyphenyl, benzodioxanyl, benzofuranyl, dihydrobenzofuranyl, benzothiazolyl, benzoxazolyl, benzimidazolyl, coumaranonyl, coumarinyl or dihydrocoumarinyl.

16 (previously presented). A compound of formula I, as defined in Claim 1, wherein, when  $R^x$  represents a structural fragment of formula IIc, then  $R^6$  and/or  $R^7$  represent(s) substituted phenyl.

17 (previously presented). A compound of formula Ia,



wherein B<sup>1</sup> represents a structural fragment of formula IVd or IVf



wherein D<sup>1</sup> and D<sup>2</sup> independently represent H, OH, OR<sup>a</sup>, OC(O)R<sup>b</sup>, OC(O)OR<sup>c</sup>, C(O)OR<sup>d</sup>, or C(O)R<sup>e</sup> and R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup>, R<sup>d</sup> and R<sup>e</sup> independently represent phenyl, benzyl, (CH<sub>2</sub>)<sub>2</sub>OC(O)CH<sub>3</sub> or C<sub>1-6</sub> alkyl which latter group is optionally interrupted by oxygen;

R<sup>1</sup> represents H, C(O)R<sup>11</sup>, SiR<sup>12</sup>R<sup>13</sup>R<sup>14</sup> or C<sub>1-6</sub> alkyl which latter group is optionally substituted or terminated by one or more substituent selected from the group consisting of OR<sup>15</sup> and (CH<sub>2</sub>)<sub>q</sub>R<sup>16</sup>;

R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> independently represent H, phenyl or C<sub>1-6</sub> alkyl;

R<sup>16</sup> represents C<sub>1-4</sub> alkyl, phenyl, OH, C(O)OR<sup>17</sup> or C(O)N(H)R<sup>18</sup>;

R<sup>18</sup> represents H, C<sub>1-4</sub> alkyl or CH<sub>2</sub>C(O)OR<sup>19</sup>;

R<sup>15</sup> and R<sup>17</sup> independently represent H, C<sub>1-6</sub> alkyl or C<sub>7-9</sub> alkylphenyl;

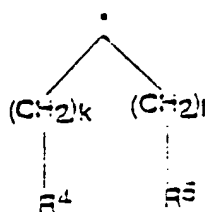
R<sup>11</sup> and R<sup>19</sup> independently represent H or C<sub>1-4</sub> alkyl; and

q represents 0, 1 or 2;

R<sup>2</sup> and R<sup>3</sup> are both hydrogen;



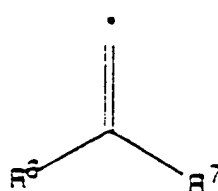
R<sup>x</sup> represents a structural fragment of formula IIa, IIb or IIc,



IIa



IIb



IIc

wherein

k, l and m independently represent 0, 1, 2, 3 or 4;

R<sup>4</sup> and R<sup>5</sup> independently represent H, Si(Me)<sub>3</sub>, 1- or 2-naphthyl, a polycyclic hydrocarbyl group, CHR<sup>41</sup>R<sup>42</sup> or C<sub>1-4</sub> alkyl (which latter group is optionally substituted by one or more fluorine atoms), or C<sub>3-8</sub> cycloalkyl, phenyl, methylenedioxyphenyl, benzodioxanyl, benzofuranyl, dihydrobenzofuranyl, benzothiazolyl, benzoxazolyl, benzimidazolyl, coumaranonyl, coumarinyl or dihydrocoumarinyl (which latter twelve groups are optionally substituted by one or more of C<sub>1-4</sub> alkyl (which latter group is optionally substituted by one or more halo substituent), C<sub>1-4</sub> alkoxy, halo, hydroxy, cyano, nitro, SO<sub>2</sub>NH<sub>2</sub>, C(O)OH or N(H)R<sup>43</sup>);

R<sup>41</sup> and R<sup>42</sup> independently represent cyclohexyl or phenyl;

R<sup>6</sup> and R<sup>7</sup> independently represent H, C<sub>1-4</sub> alkyl, C<sub>3-8</sub> cycloalkyl, phenyl (which latter group is optionally substituted by one or more of C<sub>1-4</sub> alkyl (which latter group is optionally substituted by one or more halo substituent), C<sub>1-4</sub> alkoxy, halo, hydroxy, cyano, nitro, SO<sub>2</sub>NH<sub>2</sub>, C(O)OH or N(H)R<sup>44</sup>) or together with the carbon atom to which

they are attached form a C<sub>3-8</sub> cycloalkyl ring;

R<sup>43</sup> and R<sup>44</sup> independently represent H or C(O)R<sup>45</sup>; and

R<sup>45</sup> represents H, C<sub>1-4</sub> alkyl or C<sub>1-4</sub> alkoxy;

Y represents (CH<sub>2</sub>)<sub>2</sub>, CH=CH, (CH<sub>2</sub>)<sub>3</sub>, CH<sub>2</sub>CH=CH or CH=CHCH<sub>2</sub>, which latter three groups are optionally substituted by C<sub>1-4</sub> alkyl, methylene, oxo or hydroxy;

n represents 0, 1, 2, 3 or 4;

or a pharmaceutically acceptable salt thereof, provided that D<sup>1</sup> and D<sup>2</sup> do not both represent H.

18 (original). A compound of formula Ia, as defined in Claim 17, wherein D<sup>1</sup> represents H and D<sup>2</sup> represents OH, OCH<sub>3</sub>, OC(O)R<sup>b</sup> or C(O)OR<sup>d</sup> and R<sup>b</sup> and R<sup>d</sup> are as defined in Claim 17.

19 (previously presented). A compound as claimed in claim 17 which is

(*R,S*)-Ph-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OH;  
(*S*)-3-methoxyphenyl-CH(CH<sub>2</sub>OH)CO-Pro-Pab(Z);  
(*R*)-3-methoxyphenyl-CH(CH<sub>2</sub>OH)CO-Pro-Pab(Z);  
(*S*)-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OH;  
(*R*)-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OH;  
(*S*)-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OC(O)Et;  
(*R*)-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OC(O)Et; or  
(*S*)-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OC(O)CH<sub>3</sub>;

*(R)*-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OC(O)CH<sub>3</sub>;  
[ *(R,S)*-3-Ph-C(Me)(CH<sub>2</sub>OMe)-C(O)-Pro-Pab(Z); or  
*(R,S)*-3-methylphenyl-CH(CH<sub>2</sub>OAc)-C(O)-Pro-Pab-OMe;]  
or a pharmaceutically acceptable salt thereof

20 (previously presented). A pharmaceutical formulation including a compound as defined in claim 1, or a pharmaceutically acceptable salt thereof, in admixture with a pharmaceutically acceptable adjuvant, diluent or carrier.

21-27 (cancelled).

28 (previously presented). A method of treatment of a condition where inhibition of thrombin is required which method comprises administration of a therapeutically effective amount of a compound as defined in claim 1, or a pharmaceutically acceptable salt thereof, to a person suffering from, or susceptible to, such a condition.

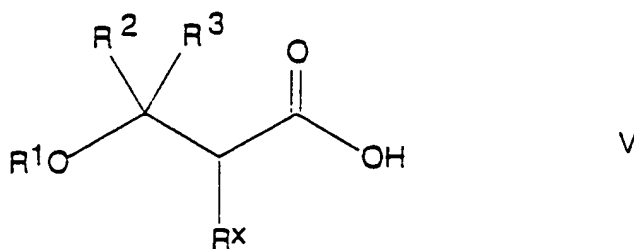
29 (original). A method as claimed in claim 28, wherein the condition is thrombosis.

30 (original). A method as claimed in claim 28, wherein the condition is hypercoagulability in blood and tissues.

31 (cancelled).

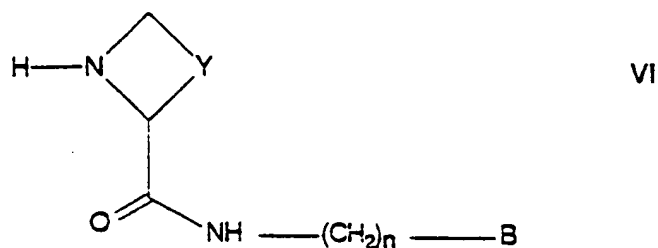
32. (Amended) A process for the preparation of compounds of formula I as defined in claim 1, which comprises:

(a) the coupling of a compound of formula V,



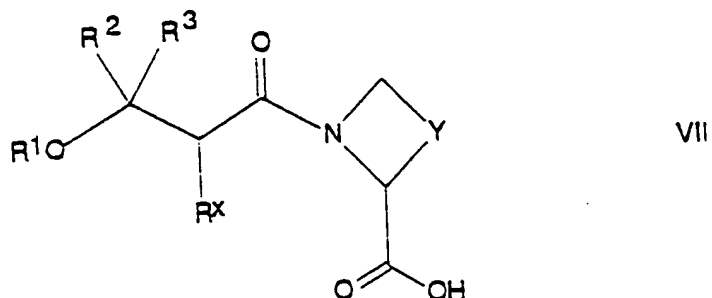
wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>x</sup> are as defined in Claim 1, with a compound of formula

VI,

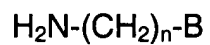


wherein Y, n and B are as defined in Claim 1; or

(b) the coupling of a compound of formula VII,



wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^X$  and Y are as defined in Claim 1 with a compound of formula VIII,



VIII

wherein n and B are as defined in Claim 1.

33 (cancelled).